

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
31 December 2003 (31.12.2003)

PCT

(10) International Publication Number
WO 2004/001369 A3

(51) International Patent Classification⁷: C07J 31/00, 75/00

(21) International Application Number:
PCT/IN2003/000219

(22) International Filing Date: 16 June 2003 (16.06.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
544/MUM/2002 20 June 2002 (20.06.2002) IN
387/MUM/2003 17 April 2003 (17.04.2003) IN

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(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

- as to the identity of the inventor (Rule 4.17(i)) for all designations
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for all designations
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for all designations
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for all designations
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for all designations
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations

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(54) Title: CONVENIENT SYNTHESIS OF S-FLUOROMETHYL 6ALPHA, 9ALPHA-DIFLUORO-11BETA-HYDROXY-16ALPHA-METHYL-17ALPHA-PROPIONYLOXY-3-OXOANDROSTA-1, 4-DIENE-17BETA-CARBOETHIOATE

(57) Abstract: The present invention provides a convenient process for the preparation of S-fluoromethyl 6a, 9a-difluoro-11b-hydroxy-16a-methyl-17a-propionyloxy-3-oxoandrosta-1, 4-diene-17b-carboethioate, a compound of formula (1), comprising (a) treating 17b-[(N, N-dimethylcarbamoyl)thio]carbonyl-6a,9a-difluoro-11b-hydroxy-16a-methyl-17a-propionyloxy-3-oxoandrosta-1, 4-diene, a compound of formula (3) with alkali metal carbonate-alcohol system to obtain 6a, 9a-difluoro-11b-hydroxy-16a-methyl-17a-propionyloxy-3-oxoandrosta-1, 4-diene-17b-carboethioic acid, a compound of formula (4); (b) reacting the compound of formula (4) with bromofluoromethane to yield the compound of formula (1). The present invention also provides an improved process for preparation of compound of formula (1) comprising (a) reacting 6a, 9a-difluoro-11b-hydroxy-16a-methyl-3-oxo-17a-(propionyloxy) androsta-1, 4-dien-17b-carboxylic acid, a compound of formula (2), with N,N-dimethylthiocarbamoyl chloride in an inert aprotic solvent in the presence of an iodide catalyst and a base to give a compound of formula (3), (b) reacting the compound of formula (3) with a hydrosulfide reagent and bromofluoromethane to obtain a compound of formula (1).